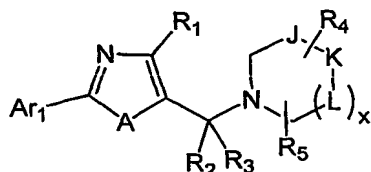


## WHAT IS CLAIMED IS:

## 1. A compound of Formula IA:



Formula IA

or a pharmaceutically acceptable salt thereof, wherein

A is oxygen, sulfur or NR;

R is C<sub>1</sub>-C<sub>7</sub>alkyl, C<sub>2</sub>-C<sub>7</sub>alkenyl, C<sub>2</sub>-C<sub>7</sub>alkynyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, (C<sub>3</sub>-C<sub>10</sub>carbocycle)C<sub>1</sub>-C<sub>4</sub>alkyl or (4- to 7-membered heterocycloalkyl)C<sub>1</sub>-C<sub>4</sub>alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl;

x is 0, 1 or 2;

J, K and each occurrence of L are chosen from oxygen, sulfur, NH and CH<sub>2</sub>; such that no more than one of J, K and L is chosen from oxygen, sulfur and NH;

R<sub>1</sub> is chosen from:

- i) hydrogen, hydroxy, halogen, amino, cyano, nitro, -CHO, -CONH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>haloalkyl and C<sub>1</sub>-C<sub>6</sub>haloalkoxy;
- ii) C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>2</sub>-C<sub>7</sub>alkenyl, C<sub>2</sub>-C<sub>7</sub>alkynyl, C<sub>2</sub>-C<sub>6</sub>alkanoyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl, (4- to 10-membered heterocycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl, mono- and di-(C<sub>1</sub>-C<sub>6</sub>alkyl)aminoC<sub>0</sub>-C<sub>6</sub>alkyl, mono- and di-(C<sub>1</sub>-C<sub>6</sub>alkyl)carboxamide, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl, -SO<sub>n</sub>(C<sub>1</sub>-C<sub>6</sub>alkyl), -NHSO<sub>n</sub>C<sub>1</sub>-C<sub>6</sub>alkyl, -(C<sub>0</sub>-C<sub>6</sub>alkyl)SO<sub>n</sub>(C<sub>1</sub>-C<sub>6</sub>alkyl), -SO<sub>n</sub>N(C<sub>1</sub>-C<sub>6</sub>alkyl)(C<sub>1</sub>-C<sub>6</sub>alkyl), and -SO<sub>n</sub>-phenyl, wherein each n is independently 0, 1 or 2, and each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl; and
- iii) naphthyl, phenyl and 5- to 10-membered heteroaryl, each of which is substituted with from 0 to 3 substituents independently chosen from R<sub>11</sub>;

R<sub>2</sub> and R<sub>3</sub> are independently hydrogen or C<sub>1</sub>-C<sub>6</sub>alkyl;

R<sub>4</sub> represents 1 substituent chosen from:

- i) C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>2</sub>-C<sub>6</sub>alkynyl, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl and hexahydro-1,3-benzodioxolyl;

- ii) aryl having 1 ring or 2 fused or pendant rings;
- iii) (4- to 10-membered heterocycloalkyl) $C_0$ - $C_4$ alkyl;
- iv) phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring that (a) has 0, 1 or 2 ring atoms independently chosen from N, O and S, with remaining ring atoms being carbon, and (b) is substituted with from 0 to 3 substituents independently chosen from halogen,  $C_1$ - $C_8$ alkyl,  $C_1$ - $C_8$ alkoxy,  $C_1$ - $C_8$ haloalkyl,  $C_1$ - $C_8$ haloalkoxy;
- v) (5- to 10-membered heteroaryl) $C_0$ - $C_4$ alkyl, having 1 ring or 2 fused or pendant rings, from 5 to 7 members in each ring, and in at least one ring from 1 to 3 heteroatoms independently selected from N, O, and S, wherein  $R_4$  is not pyrimidyl; and
- vi) groups that are taken together with an  $R_5$  moiety to form a fused phenyl or pyridyl ring;

wherein each of i), ii), iii), iv), v) and vi) is substituted with from 0 to 3 substituents independently chosen from  $R_{11}$ ;

$R_5$  represents from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, nitro, -CHO, -CONH<sub>2</sub>,  $C_1$ - $C_2$ haloalkyl,  $C_1$ - $C_2$ haloalkoxy,  $C_1$ - $C_6$ alkyl,  $C_1$ - $C_6$ alkoxy,  $C_3$ - $C_7$ cycloalkyl $C_0$ - $C_4$ alkyl, mono- and di-( $C_1$ - $C_6$ alkyl)amino $C_0$ - $C_6$ alkyl, optionally substituted phenyl, and groups that are taken together with  $R_4$  to form a fused, optionally substituted phenyl or pyridyl ring; and

$Ar_1$  represents

- i) phenyl or naphthyl, each of which is substituted with from 0 to 3 substituents independently chosen from amino, cyano,  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ alkoxy,  $C_1$ - $C_2$ haloalkyl,  $C_1$ - $C_2$ haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-( $C_1$ - $C_4$ alkyl)amino,  $C_2$ - $C_4$ alkanoyl,  $C_1$ - $C_4$ sulfonate,  $C_1$ - $C_4$ alkylsulfonyl,  $C_1$ - $C_4$ alkylsulfinyl,  $C_1$ - $C_4$ alkylthio,  $C_3$ - $C_6$ alkanone,  $C_2$ - $C_4$ alkyl ether,  $C_2$ - $C_4$ alkanoyloxy,  $C_1$ - $C_4$ alkoxycarbonyl and  $C_1$ - $C_6$ alkylcarboxamide;
- ii) phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring that (a) has 0, 1 or 2 ring atoms independently chosen from N, O and S, with remaining ring atoms being carbon, and (b) is substituted with from 0 to 3 substituents independently chosen from halogen,  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ alkoxy,  $C_1$ - $C_2$ haloalkyl and  $C_1$ - $C_2$ haloalkoxy;
- or
- iii) heteroaryl, having 1 ring or 2 fused or pendant rings, from 5 to 7 members in each ring, and in at least one ring from 1 to 3 heteroatoms independently selected from N, O, and S;

wherein each of ii) and iii) is substituted with from 0 to 3 substituents independently chosen from R<sub>11</sub>; and

R<sub>11</sub> is independently chosen at each occurrence from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>,  
 5 mono- and di-(C<sub>1</sub>-C<sub>6</sub>alkyl)amino, C<sub>2</sub>-C<sub>6</sub>alkanoyl, C<sub>1</sub>-C<sub>6</sub>sulfonate, C<sub>1</sub>-C<sub>6</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>3</sub>-C<sub>6</sub>alkanone, C<sub>2</sub>-C<sub>6</sub>alkyl ether, C<sub>2</sub>-C<sub>6</sub>alkanoyloxy, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl and C<sub>1</sub>-C<sub>6</sub>alkylcarboxamide.

2. A compound or salt according to claim 1, wherein:

10 R is chosen from C<sub>1</sub>-C<sub>7</sub>alkyl, C<sub>2</sub>-C<sub>7</sub>alkenyl, C<sub>2</sub>-C<sub>7</sub>alkynyl, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>1</sub>-C<sub>4</sub>alkyl and (4- to 7-membered heterocycloalkyl)C<sub>1</sub>-C<sub>4</sub>alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl;

R<sub>1</sub> is chosen from:

- 15 i) hydrogen, hydroxy, halogen, amino, cyano, nitro, -CHO, -CONH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>haloalkyl and C<sub>1</sub>-C<sub>6</sub>haloalkoxy;
- ii) C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkenyl, C<sub>1</sub>-C<sub>6</sub>alkynyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>0</sub>-C<sub>2</sub>alkyl, (4- to 10-membered heterocycloalkyl)C<sub>0</sub>-C<sub>2</sub>alkyl, and mono- and di-(C<sub>1</sub>-C<sub>6</sub>alkyl)carboxamide, each of which is substituted with from 0 to 3 substituents  
 20 independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl and C<sub>1</sub>-C<sub>4</sub>alkoxy, and
- iii) naphthyl, phenyl, pyridyl, thiazolyl, pyrimidinyl and thienyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>2</sub>-C<sub>6</sub>alkanoyl, C<sub>1</sub>-C<sub>6</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>3</sub>-C<sub>6</sub>alkanone, C<sub>2</sub>-C<sub>6</sub>alkylether, C<sub>2</sub>-C<sub>6</sub>alkanoyloxy, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl and C<sub>1</sub>-C<sub>6</sub>alkylcarboxamide;

R<sub>4</sub>:

- 30 i) represents C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>2</sub>-C<sub>7</sub>alkenyl, C<sub>2</sub>-C<sub>7</sub>alkynyl, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl, hexahydro-1,3-benzodioxolyl, phenyl, naphthyl or (4- to 7-membered heterocycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, C<sub>2</sub>-C<sub>4</sub>alkanoyl, C<sub>1</sub>-C<sub>4</sub>sulfonate, C<sub>1</sub>-C<sub>4</sub>alkylsulfonyl, C<sub>1</sub>-

C<sub>4</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>alkylthio, C<sub>3</sub>-C<sub>6</sub>alkanone, C<sub>2</sub>-C<sub>4</sub>alkyl ether, C<sub>2</sub>-C<sub>4</sub>alkanoyloxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl, and C<sub>1</sub>-C<sub>6</sub>alkylcarboxamide; or

5 ii) is phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring that (a) has 0, 1 or 2 ring atoms independently chosen from N, O and S, with remaining ring atoms being carbon, and (b) is substituted with from 0 to 3 substituents independently chosen from halogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl and C<sub>1</sub>-C<sub>2</sub>haloalkoxy; or

10 iii) is taken together with an R<sub>5</sub> moiety to form a fused phenyl or pyridyl ring that is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, and mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino;

R<sub>5</sub> represents from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, and groups that are taken together with R<sub>4</sub> to form a fused, optionally substituted phenyl or pyridyl ring; and

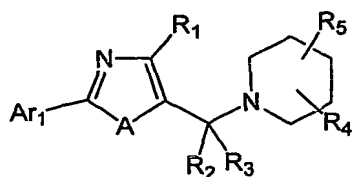
15 Ar<sub>1</sub> represents phenyl, naphthyl, pyridyl, pyrimidinyl, pyridizynyl, pyrazinyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, pyrrolyl, oxazolyl, furanyl, indazolyl or thienyl, each of which is substituted with from 0 to 3 substituents independently chosen from amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, C<sub>2</sub>-C<sub>4</sub>alkanoyl, C<sub>1</sub>-C<sub>4</sub>sulfonate, C<sub>1</sub>-C<sub>4</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>alkylthio, C<sub>3</sub>-C<sub>6</sub>alkanone, C<sub>2</sub>-C<sub>4</sub>alkyl ether, C<sub>2</sub>-C<sub>4</sub>alkanoyloxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and C<sub>1</sub>-C<sub>6</sub>alkylcarboxamide.

25 3. A compound or salt according to claim 1 or claim 2, wherein A is oxygen.

4. A compound or salt according to claim 1 or claim 2, wherein A is sulfur.

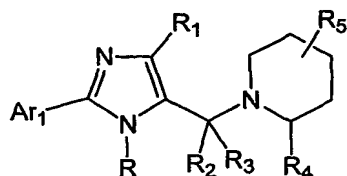
5. A compound or salt according to claim 1 or claim 2, wherein A is NR.

30 6. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula II:



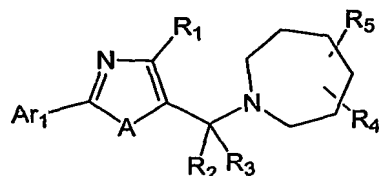
Formula II.

7. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula III:



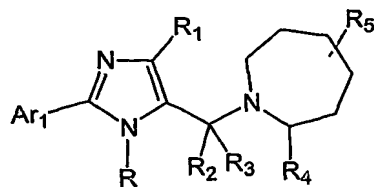
Formula III.

8. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula IV:



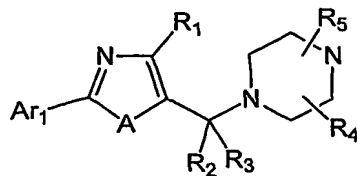
Formula IV.

9. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula V:



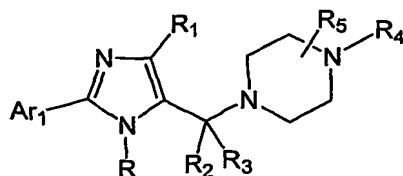
Formula V.

10. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula VI:



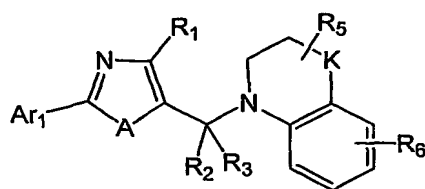
Formula VI.

11. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula VII:



Formula VII.

12. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula VIII:



Formula VIII

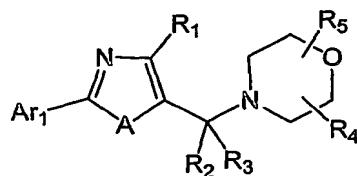
wherein:

K is CH<sub>2</sub> or NH; and

R<sub>6</sub> represents from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub> and mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino.

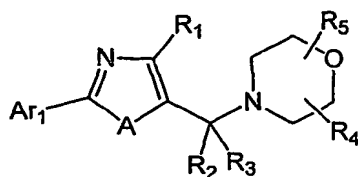
13. A compound or salt according to claim 12, wherein A is NR and K is CH<sub>2</sub>.

14. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula IX:



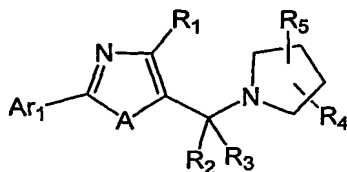
Formula IX.

15. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula X:



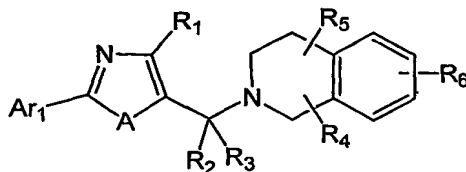
Formula X.

16. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula XI:



Formula XI.

17. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula XII:



Formula XII

10 wherein  $R_6$  represents from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano,  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ alkoxy,  $C_1$ - $C_2$ haloalkyl,  $C_1$ - $C_2$ haloalkoxy,  $-COOH$ ,  $-CONH_2$  and mono- and di- $(C_1$ - $C_4$ alkyl)amino.

18. A compound or salt according to any one of claims 1 to 17, wherein  $R_2$  and  $R_3$  are both hydrogen.

15 19. A compound or salt according to any one of claims 1 to 18, wherein  $Ar_1$  is phenyl, pyridyl, indazolyl or thienyl, each of which is substituted with 0 to 3 substituents independently chosen from  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ alkoxy,  $C_1$ - $C_2$ haloalkyl,  $C_1$ - $C_2$ haloalkoxy and mono- and di- $(C_1$ - $C_2$ alkyl)amino.

20 20. A compound or salt according to claim 19, wherein  $Ar_1$  is phenyl or mono- or di-substituted phenyl.

21. A compound or salt according to claim 20, wherein  $Ar_1$  is phenyl substituted with one or two substituents independently chosen from ethyl and methyl.

22. A compound or salt according to claim 21, wherein Ar<sub>1</sub> is 2,6-disubstituted phenyl.

23. A compound or salt according to any one of claims 2 through 22, wherein R<sub>1</sub> is:

i) halogen;

5 ii) C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl, pyrrolidinylC<sub>0</sub>-C<sub>2</sub>alkyl, morpholinylC<sub>0</sub>-C<sub>2</sub>alkyl, piperinylC<sub>0</sub>-C<sub>2</sub>alkyl or piperazinylC<sub>0</sub>-C<sub>2</sub>alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl and C<sub>1</sub>-C<sub>4</sub>alkoxy; or

10 iii) phenyl or pyridyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, and mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino.

24. A compound or salt according to claim 23, wherein R<sub>1</sub> is halogen, C<sub>1</sub>-C<sub>2</sub>alkyl, C<sub>1</sub>-C<sub>2</sub>alkoxy, or pyrrolidinylC<sub>1</sub>-C<sub>2</sub>alkyl.

15 25. A compound or salt according to claim 23, wherein R<sub>1</sub> is phenyl or pyridyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, and mono- and di-C<sub>1</sub>-C<sub>4</sub>alkylamino.

20 26. A compound or salt according to any one of claims 5 through 25, wherein R is C<sub>1</sub>-C<sub>7</sub>alkyl, C<sub>2</sub>-C<sub>7</sub>alkenyl, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>1</sub>-C<sub>4</sub>alkyl or (1,3-dioxylan-2-yl)C<sub>1</sub>-C<sub>4</sub>alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl and C<sub>1</sub>-C<sub>4</sub>alkoxy.

25 27. A compound or salt according to claim 26 wherein R is C<sub>1</sub>-C<sub>5</sub>alkyl, C<sub>2</sub>-C<sub>4</sub>alkenyl or (1,3-dioxylan-2-yl)C<sub>1</sub>-C<sub>4</sub>alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, C<sub>1</sub>-C<sub>4</sub>alkyl and C<sub>1</sub>-C<sub>4</sub>alkoxy.

30 28. A compound or salt according to any one of claims 3 to 27, wherein R<sub>4</sub> is C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>2</sub>alkyl, C<sub>1</sub>-C<sub>2</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, and mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino.



29. A compound or salt according to any one of claims 3 to 27, wherein R<sub>4</sub> is phenylC<sub>0</sub>-C<sub>1</sub>alkyl, pyridylC<sub>0</sub>-C<sub>1</sub>alkyl, pyrimidylC<sub>0</sub>-C<sub>1</sub>alkyl, thienylC<sub>0</sub>-C<sub>1</sub>alkyl, naphthylC<sub>0</sub>-C<sub>1</sub>alkyl, indolylC<sub>0</sub>-C<sub>1</sub>alkyl, benzoxadiazolylC<sub>0</sub>-C<sub>1</sub>alkyl, benzoxazolylC<sub>0</sub>-C<sub>1</sub>alkyl, quinazolinylC<sub>0</sub>-C<sub>1</sub>alkyl, benzothiazolylC<sub>0</sub>-C<sub>1</sub>alkyl or benzimidazolylC<sub>0</sub>-C<sub>1</sub>alkyl, each of which is substituted with from 0 to 2 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>1</sub>-C<sub>2</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy and mono- and di-(C<sub>1</sub>-C<sub>2</sub>alkyl)amino.

30. A compound or salt according to any one of claims 3 to 27, wherein R<sub>4</sub> is phenyl or pyridyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, C<sub>2</sub>-C<sub>4</sub>alkanoyl, C<sub>1</sub>-C<sub>4</sub>sulfonate, C<sub>1</sub>-C<sub>4</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>alkylthio, C<sub>3</sub>-C<sub>6</sub>alkanone, C<sub>2</sub>-C<sub>4</sub>alkyl ether, C<sub>2</sub>-C<sub>4</sub>alkanoyloxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and C<sub>1</sub>-C<sub>6</sub>alkylcarboxamide.

31. A compound or salt according to claim 30, wherein R<sub>4</sub> is phenyl or pyridyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>2</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>2</sub>alkyl)amino, C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl and C<sub>1</sub>-C<sub>2</sub>alkylcarboxamide.

32. A compound or salt according to any one of claims 3 to 27, wherein R<sub>4</sub> is phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring that (a) has 0, 1 or 2 ring atoms independently chosen from N, O and S, with remaining ring atoms being carbon, and (b) is substituted with from 0 to 3 substituents independently chosen from halogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, and C<sub>1</sub>-C<sub>2</sub>haloalkoxy.

33. A compound or salt according to claim 32, wherein R<sub>4</sub> represents 1,3-benzodioxol-5-yl, 2,3-dihydro-1-benzofuran-6-yl, 2,3-dihydro-1-benzofuran-5-yl, 2,3-dihydro-1,4-benzodioxin-6-yl, chroman-6-yl, chroman-7-yl, 1,3-benzothiazolyl or 2,3-dihydroindol-5-yl, each of which is substituted with from 0 to 2 substituents independently selected from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>2</sub>alkyl, C<sub>1</sub>-C<sub>2</sub>alkoxy and mono- and di-(C<sub>1</sub>-C<sub>2</sub>alkyl)amino.

34. A compound or salt according to claim 33, wherein R<sub>4</sub> is benzo[1,3]dioxol-5-yl or 2,3-dihydro-benzo[1,4]dioxin-6-yl, each of which is substituted with from 0 to 3

substituents independently chosen from halogen, C<sub>1</sub>-C<sub>2</sub>alkyl, C<sub>1</sub>-C<sub>2</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl and C<sub>1</sub>-C<sub>2</sub>haloalkoxy.

35. A compound or salt according to any one of claims 3 to 27, wherein R<sub>4</sub> is taken together with R<sub>5</sub> to form a fused phenyl or pyridyl ring that is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub> and mono- and di-(C<sub>1</sub>-C<sub>4</sub>)alkylamino.

36. A compound or salt according to any one of claims 3 to 33, wherein R<sub>5</sub> represents from 0 to 3 substituents independently chosen from hydroxy, halogen, C<sub>1</sub>-C<sub>2</sub>alkyl, and C<sub>1</sub>-C<sub>2</sub>alkoxy.

37. A compound or salt according to any one of claims 1-35, wherein the compound exhibits an IC<sub>50</sub> of 500 nM or less in a standard *in vitro* C5a receptor-mediated chemotaxis or calcium mobilization assay.

38. A compound or salt according to any one of claims 1-35, wherein the compound exhibits an IC<sub>50</sub> of 25 nM or less in a standard *in vitro* C5a receptor-mediated chemotaxis or calcium mobilization assay.

39. A compound or salt according to any one of claims 1-35, wherein the compound exhibits less than 5% agonist activity in a GTP binding assay.

40. A pharmaceutical composition comprising at least one compound or salt according to any one of claims 1-35, in combination with a physiologically acceptable carrier or excipient.

41. A pharmaceutical composition according claim 40, wherein the pharmaceutical composition is formulated as an injectible fluid, an aerosol, a cream, a gel, a pill, a capsule, a syrup, or a transdermal patch.

42. A method for inhibiting signal-transducing activity of a cellular C5a receptor, comprising contacting a cell expressing C5a receptor with at least one compound or salt according to any one of claims 1-35, and thereby reducing signal transduction by the C5a receptor.

43. A method according to claim 42, wherein the cell is contacted *in vivo* in an animal.

44. A method according to claim 43, wherein the animal is a human.

45. A method for inhibiting binding of C5a to C5a receptor *in vitro*, the method comprising contacting C5a receptor with at least one compound or salt according to any one of claims 1-35, under conditions and in an amount sufficient to detectably inhibit C5a binding to C5a receptor.

46. A method for inhibiting binding of C5a to C5a receptor in a human patient, comprising contacting cells expressing C5a receptor with at least one compound or salt according to any one of claims 1-35, in an amount sufficient to detectably inhibit C5a binding to cells expressing a cloned C5a receptor *in vitro*, and thereby inhibiting binding of C5a to the C5a receptor in the patient.

47. A method for treating a patient suffering from rheumatoid arthritis, psoriasis, cardiovascular disease, reperfusion injury, or bronchial asthma comprising administering to the patient a C5a receptor modulatory amount of a compound or salt according to any one of claims 1-35.

48. A method for treating a patient suffering from stroke, myocardial infarction, atherosclerosis, ischemic heart disease, or ischemia-reperfusion injury comprising administering to the patient a C5a receptor modulatory amount of a compound or salt according to any one of claims 1-35.

49. A method for treating a patient suffering from cystic fibrosis or sepsis, comprising administering to a patient in need of such treatment a C5a receptor modulatory amount of a compound or salt according to any one of claims 1-35.

50. A method for inhibiting C5a receptor-mediated cellular chemotaxis, comprising contacting mammalian white blood cells with a C5a receptor modulatory amount of a compound or salt according to any one of claims 1-35.

51. A method for localizing C5a receptor in a tissue sample, comprising:

- (a) contacting the tissue sample containing C5a receptor with a detectably labeled compound or salt according to any one of claims 1-35 under conditions that permit binding of the compound or salt to C5a receptors; and  
5 (b) detecting the bound compound or salt.

52. A method according to claim 51, wherein the compound is radiolabeled.

53. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 40 in a container; and  
10 (b) instructions for using the composition to treat a patient suffering from rheumatoid arthritis, psoriasis, cardiovascular disease, reperfusion injury, or bronchial asthma.

54. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 40 in a container; and  
(b) instructions for using the composition to treat stroke, myocardial infarction, atherosclerosis, ischemic heart disease, or ischemia-reperfusion injury.

15 55. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 40 in a container; and  
(b) instructions for using the composition to treat a patient suffering from cystic fibrosis or sepsis.

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